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 NEWS  3  JUN 01   CAS REGISTRY Source of Registration (SR) searching
                   enhanced on STN
 NEWS  4  JUN 26   NUTRACEUT and PHARMAML no longer updated
 NEWS  5  JUN 29   IMSCOPROFILE now reloaded monthly
 NEWS  6  JUN 29   EPFULL adds Simultaneous Left and Right Truncation
                   (SLART) to AB, MCLM, and TI fields
 NEWS  7  JUL 09   PATDPAFULL adds Simultaneous Left and Right
                   Truncation (SLART) to AB, CLM, MCLM, and TI fields
 NEWS  8  JUL 14   USGENE enhances coverage of patent sequence location
                   (PSL) data
 NEWS  9  JUL 27   CA/CAplus enhanced with new citing references
 NEWS 10  JUL 16   GBFULL adds patent backfile data to 1855
 NEWS 11  JUL 21   USGENE adds bibliographic and sequence information
 NEWS 12  JUL 28   EPFULL adds first-page images and applicant-cited
                   references
 NEWS 13  JUL 28   INPADOCDB and INPAFAMDB add Russian legal status data
 NEWS 14  AUG 10   Time limit for inactive STN sessions doubles to 40
                   minutes
 NEWS 15  AUG 18   COMPENDEX indexing changed for the Corporate Source
                   (CS) field
 NEWS 16  AUG 24   ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
 NEWS 17  AUG 24   CA/CAplus enhanced with legal status information for
                   U.S. patents
 NEWS 18  SEP 09   50 Millionth Unique Chemical Substance Recorded in
                   CAS REGISTRY
 NEWS 19  SEP 11   WPIDS, WPINDEX, and WPIX now include Japanese FTERM
                   thesaurus

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                                                           ENTRY    SESSION
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DICTIONARY FILE UPDATES:  29 SEP 2009  HIGHEST RN 1186580-18-6

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FULL SCREEN SEARCH COMPLETED -       24 TO ITERATE

100.0% PROCESSED       24 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

L2            1 SEA SSS FUL L1

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FILE COVERS 1907 - 1 Oct 2009 VOL 151 ISS 14
FILE LAST UPDATED: 30 Sep 2009 (20090930/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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=> s l2
L3 2 L2

=> d l3 1-2 ibib ab hitstr

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1242575 CAPLUS
DOCUMENT NUMBER: 147:502363
TITLE: Preparation of diarylthiohydantoins as androgen receptor antagonists for the treatment of hormone refractory prostate cancer
INVENTOR(S): Jung, Michael; Yoo, Dongwon; Sawyers, Charles L.; Tran, Chris
PATENT ASSIGNEE(S): Regents of the University of California, USA
SOURCE: U.S. Pat. Appl. Publ., 63pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070254933	A1	20071101	US 2007-730168	20070329
US 20080139634	A2	20080612		
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CA 2648139	A1	20071108	CA 2007-2648139	20070329
WO 2007127010	A2	20071108	WO 2007-US7854	20070329
WO 2007127010	A9	20080522		
WO 2007127010	A3	20080731		

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MX 2008012492	A	20081212	MX 2008-12492		20080929
NO 2008004480	A	20081219	NO 2008-4480		20081023
KR 2009009215	A	20090122	KR 2008-726364		20081028
IN 2008DN09073	A	20090320	IN 2008-DN9073		20081029
CN 101460467	A	20090617	CN 2007-80020099		20081201
PRIORITY APPLN. INFO.:			US 2006-786837P	P	20060329
			WO 2007-US7854	W	20070329

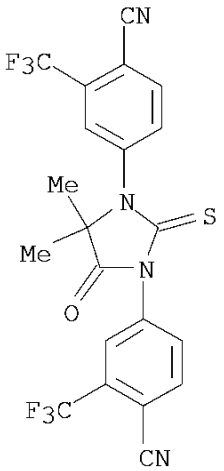
OTHER SOURCE(S): MARPAT 147:502363

AB Title compds. I [wherein R1, R2 = Me; R1 and R2 together with the carbon to which they are linked form a 4/5-membered cycloalkyl; R3 = carbamoyl, alkylcarbamoyl, carbamoylalkyl, etc.; R4 = H or F] were prepared as androgen receptor antagonists. For instance, II was synthesized in 25% yield by cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-2-4-[(1,1-dimethylcyanomethyl)amino]benzamide (preparation given). Extensive biol. tests of I and related compds. were carried out, and their relationship with structures was reported. The invented compds. and their pharmaceutical compns. are useful for the treatment of hormone refractory prostate cancer.

IT 915087-60-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylthiohydantoins as androgen receptor antagonists for treatment of hormone refractory prostate cancer)

RN 915087-60-4 CAPLUS

CN Benzonitrile, 4,4'-(4,4-dimethyl-5-oxo-2-thioxo-1,3-imidazolidinediyl)bis[2-(trifluoromethyl)- (CA INDEX NAME)



L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1228845 CAPLUS
DOCUMENT NUMBER: 145:505452
TITLE: Preparation of diarylhydantoin compounds as androgen receptor antagonists useful against hormone refractory prostate cancer
INVENTOR(S): Sawyers, Charles L.; Jung, Michael E.; Chen, Charlie D.; Ouk, Samedy; Welsbie, Derek; Tran, Chris; Wongvipat, John; Yoo, Dongwon
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 166pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006124118	A1	20061123	WO 2006-US11417		20060329
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2006248109	A1	20061123	AU 2006-248109		20060329
CA 2608436	A1	20061123	CA 2006-2608436		20060329
EP 1893196	A1	20080305	EP 2006-748863		20060329
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
JP 2008540523	T	20081120	JP 2008-511114		20060329
US 20070004753	A1	20070104	US 2006-433829		20060515
MX 2007014132	A	20080409	MX 2007-14132		20071112
NO 2007006401	A	20080208	NO 2007-6401		20071212
KR 2008014039	A	20080213	KR 2007-729188		20071213
IN 2007DN09668	A	20080620	IN 2007-DN9668		20071213
CN 101222922	A	20080716	CN 2006-80025545		20080114
PRIORITY APPLN. INFO.:			US 2005-680835P	P	20050513
			US 2005-750351P	P	20051215
			US 2006-756552P	P	20060106
			US 2006-785978P	P	20060327
			WO 2006-US11417	W	20060329

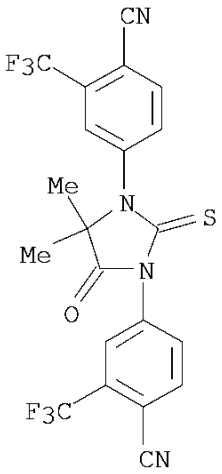
OTHER SOURCE(S): MARPAT 145:505452

AB The present invention relates to diarylhydantoin compds., including diarylthiohydantoins (shown as I; variables defined below; e.g. N-methyl-4-[7-(4-cyano-3-trifluoromethylphenyl)-8-oxo-6-thioxo-5,7-diazaspiro[3.4]octan-5-yl]-2-fluorobenzamide (shown as II)), and methods for synthesizing them and using them in the treatment of hormone refractory prostate cancer. For I: X = trifluoromethyl and iodo; W = O and NR5; R5 = H, Me, and -C(:D)-E-G, (D is S or O and E is N or O and G is (un)substituted alkyl or aryl, or D is S or O and E-G together are C1-C4 lower alkyl); R1 and R2 together comprise eight or fewer C atoms and =

(un)substituted alkyl including haloalkyl, and, together with the C to which they are linked, (un)substituted cycloalkyl; R3 = H, halogen, Me, C1-C4 alkoxy, formyl, haloacetoxy, trifluoromethyl, cyano, nitro, hydroxy, Ph, amino, methylcarbamoyl, methoxycarbonyl, acetamido, methanesulfonamino, methanesulfonyl, 4-methanesulfonyl-1-piperazinyl, piperazinyl, and C1-C6 alkyl or alkenyl (un)substituted with hydroxy, methoxycarbonyl, cyano, amino, amido, nitro, (un)substituted carbamoyl including methylcarbamoyl, dimethylcarbamoyl, and hydroxyethylcarbamoyl; R3 is not methylaminomethyl or dimethylaminomethyl; and R4 = H, halogen, alkyl, and haloalkyl. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.60 examples of I are included. For example, II was prepared in 4 steps (91, 94, 89, 57 % yields, resp.) involving intermediates N-methyl-2-fluoro-4-nitrobenzamide, N-methyl-2-fluoro-4-aminobenzamide, and N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide; the last step comprises cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide in DMF under microwave irradiation at 80° for 16 h followed by refluxing for 3 h after addition of MeOH and 2 N HCl.

IT 915087-60-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of diarylhydantoin compds. as androgen receptor antagonists useful against hormone refractory prostate cancer)

RN 915087-60-4 CAPLUS
CN Benzonitrile, 4,4'-(4,4-dimethyl-5-oxo-2-thioxo-1,3-imidazolidinediyl)bis[2-(trifluoromethyl)- (CA INDEX NAME)



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REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>
=> s diarylthiohydantoin
2 DIARYLTHIOHYDANTOIN
6 DIARYLTHIOHYDANTOINS
L4 6 DIARYLTHIOHYDANTOIN
(DIARYLTHIOHYDANTOIN OR DIARYLTHIOHYDANTOINS)

=> d l4 1-6 ibib ab

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:543704 CAPLUS
DOCUMENT NUMBER: 151:115902
TITLE: Development of a Second-Generation Antiandrogen for Treatment of Advanced Prostate Cancer
AUTHOR(S): Tran, Chris; Ouk, Samedy; Clegg, Nicola J.; Chen, Yu; Watson, Philip A.; Arora, Vivek; Wongvipat, John; Smith-Jones, Peter M.; Yoo, Dongwon; Kwon, Andrew; Wasielewska, Teresa; Welsbie, Derek; Chen, Charlie Degui; Higano, Celestia S.; Beer, Tomasz M.; Hung, David T.; Scher, Howard I.; Jung, Michael E.; Sawyers, Charles L.
CORPORATE SOURCE: Human Oncology and Pathogenesis Program, Memorial Sloan-Kettering Cancer Center, New York, NY, 10065, USA
SOURCE: Science (Washington, DC, United States) (2009), 324(5928), 787-790
CODEN: SCIEAS; ISSN: 0036-8075
PUBLISHER: American Association for the Advancement of Science
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Metastatic prostate cancer is treated with drugs that antagonize androgen action, but most patients progress to a more aggressive form of the disease called castration-resistant prostate cancer, driven by elevated expression of the androgen receptor. Here we characterize the diarylthiohydantoins RD162 and MDV3100, two compds. optimized from a screen for nonsteroidal antiandrogens that retain activity in the setting of increased androgen receptor expression. Both compds. bind to the androgen receptor with greater relative affinity than the clin. used antiandrogen bicalutamide, reduce the efficiency of its nuclear translocation, and impair both DNA binding to androgen response elements and recruitment of coactivators. RD162 and MDV3100 are orally available and induce tumor regression in mouse models of castration-resistant human prostate cancer. Of the first 30 patients treated with MDV3100 in a Phase I/II clin. trial, 13 of 30 (43%) showed sustained declines (by >50%) in serum concns. of prostate-specific antigen, a biomarker of prostate cancer. These compds. thus appear to be promising candidates for treatment of advanced prostate cancer.
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:950368 CAPLUS
TITLE: Rational drug design for the treatment of hormone refractory prostate cancer
AUTHOR(S): Jung, Michael E.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, UCLA, Los Angeles, CA, 90095-1569, USA
SOURCE: Abstracts of Papers, 236th ACS National Meeting, Philadelphia, PA, United States, August 17-21, 2008 (2008), CARB-028. American Chemical Society: Washington, D. C.
CODEN: 69KXQ2
DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)
LANGUAGE: English
AB The switch from hormone sensitive to hormone refractory prostate cancer

involves a 3- to 5-fold upregulation of the androgen receptor (AR) but is still androgen dependent. Therefore to effectively treat hormone refractory prostate cancer, one requires much more potent androgen receptor antagonists than the ones currently available. A new class of potent androgen receptor antagonists was designed and prepared Biol. data shows that these compds., diarylthiohydantoins, are extremely effective at inhibiting the growth of prostate cancer cells in which the AR has been overexpressed. A summary of the design, preparation, and biol. testing of these new AR antagonists, to include data on metabolism, distribution, and pharmacokinetics, will be presented. The lead compound, MDV3100, is now in Phase 1/2 clin. trials.

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1242575 CAPLUS
DOCUMENT NUMBER: 147:502363
TITLE: Preparation of diarylthiohydantoins as androgen receptor antagonists for the treatment of hormone refractory prostate cancer
INVENTOR(S): Jung, Michael; Yoo, Dongwon; Sawyers, Charles L.; Tran, Chris
PATENT ASSIGNEE(S): Regents of the University of California, USA
SOURCE: U.S. Pat. Appl. Publ., 63pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070254933	A1	20071101	US 2007-730168	20070329
US 20080139634	A2	20080612		
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CA 2648139	A1	20071108	CA 2007-2648139	20070329
WO 2007127010	A2	20071108	WO 2007-US7854	20070329
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KR 2009009215	A	20090122	KR 2008-726364	20081028
IN 2008DN09073	A	20090320	IN 2008-DN9073	20081029
CN 101460467	A	20090617	CN 2007-80020099	20081201

PRIORITY APPLN. INFO.:	US 2006-786837P	P	20060329
	WO 2007-US7854	W	20070329

OTHER SOURCE(S): MARPAT 147:502363

AB Title compds. I [wherein R1, R2 = Me; R1 and R2 together with the carbon to which they are linked form a 4/5-membered cycloalkyl; R3 = carbamoyl, alkylcarbamoyl, carbamoylalkyl, etc.; R4 = H or F] were prepared as androgen receptor antagonists. For instance, II was synthesized in 25% yield by cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-2-4-[(1,1-dimethylcyanomethyl)amino]benzamide (preparation given). Extensive biol. tests of I and related compds. were carried out, and their relationship with structures was reported. The invented compds. and their pharmaceutical compns. are useful for the treatment of hormone refractory prostate cancer.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1228845 CAPLUS

DOCUMENT NUMBER: 145:505452

TITLE: Preparation of diarylhydantoin compounds as androgen receptor antagonists useful against hormone refractory prostate cancer

INVENTOR(S): Sawyers, Charles L.; Jung, Michael E.; Chen, Charlie D.; Ouk, Samedy; Welsbie, Derek; Tran, Chris; Wongvipat, John; Yoo, Dongwon

PATENT ASSIGNEE(S): The Regents of the University of California, USA

SOURCE: PCT Int. Appl., 166pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2006248109	A1	20061123	AU 2006-248109		20060329
CA 2608436	A1	20061123	CA 2006-2608436		20060329
EP 1893196	A1	20080305	EP 2006-748863		20060329
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
JP 2008540523	T	20081120	JP 2008-511114		20060329
US 20070004753	A1	20070104	US 2006-433829		20060515
MX 2007014132	A	20080409	MX 2007-14132		20071112
NO 2007006401	A	20080208	NO 2007-6401		20071212
KR 2008014039	A	20080213	KR 2007-729188		20071213
IN 2007DN09668	A	20080620	IN 2007-DN9668		20071213
CN 101222922	A	20080716	CN 2006-80025545		20080114
PRIORITY APPLN. INFO.:			US 2005-680835P	P	20050513
			US 2005-750351P	P	20051215
			US 2006-756552P	P	20060106
			US 2006-785978P	P	20060327

WO 2006-US11417 W 20060329

OTHER SOURCE(S): MARPAT 145:505452

AB The present invention relates to diarylhydantoin compds., including diarylthiohydantoins (shown as I; variables defined below; e.g. N-methyl-4-[7-(4-cyano-3-trifluoromethylphenyl)-8-oxo-6-thioxo-5,7-diazaspiro[3.4]octan-5-yl]-2-fluorobenzamide (shown as II)), and methods for synthesizing them and using them in the treatment of hormone refractory prostate cancer. For I: X = trifluoromethyl and iodo; W = O and NR5; R5 = H, Me, and -C(:D)-E-G, (D is S or O and E is N or O and G is (un)substituted alkyl or aryl, or D is S or O and E-G together are C1-C4 lower alkyl); R1 and R2 together comprise eight or fewer C atoms and = (un)substituted alkyl including haloalkyl, and, together with the C to which they are linked, (un)substituted cycloalkyl; R3 = H, halogen, Me, C1-C4 alkoxy, formyl, haloacetoxy, trifluoromethyl, cyano, nitro, hydroxy, Ph, amino, methylcarbamoyl, methoxycarbonyl, acetamido, methanesulfonamino, methanesulfonyl, 4-methanesulfonyl-1-piperazinyl, piperazinyl, and C1-C6 alkyl or alkenyl (un)substituted with hydroxy, methoxycarbonyl, cyano, amino, amido, nitro, (un)substituted carbamoyl including methylcarbamoyl, dimethylcarbamoyl, and hydroxyethylcarbamoyl; R3 is not methylaminomethyl or dimethylaminomethyl; and R4 = H, halogen, alkyl, and haloalkyl. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.60 examples of I are included. For example, II was prepared in 4 steps (91, 94, 89, 57 % yields, resp.) involving intermediates N-methyl-2-fluoro-4-nitrobenzamide, N-methyl-2-fluoro-4-aminobenzamide, and N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide; the last step comprises cyclization of 4-isothiocyanato-2-trifluoromethylbenzonitrile (preparation given) with N-methyl-4-(1-cyanocyclobutylamino)-2-fluorobenzamide in DMF under microwave irradiation at 80° for 16 h followed by refluxing for 3 h after addition of MeOH and 2 N HCl.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1986:186354 CAPLUS

DOCUMENT NUMBER: 104:186354

ORIGINAL REFERENCE NO.: 104:29509a,29512a

TITLE: 5,5-Diaryl-2-thiohydantoins and 5,5-diaryl N3-substituted 2-thiohydantoins as potential hypolipidemic agents

AUTHOR(S): Tompkins, J. Ellsworth

CORPORATE SOURCE: Coll. Health Related Profess., State Univ. New York, Syracuse, NY, 13210, USA

SOURCE: Journal of Medicinal Chemistry (1986), 29(5), 855-9
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 104:186354

AB Title thiohydantoins I [R = H, R1, R2 = (un)substituted Ph, 2-pyridyl; R-R2 = Ph; R = Bu, R1 = R2 = Ph or 2-pyridyl] were prepared as potential hypolipidemic agents with the goal of increased potency over DPTH (I; R = H, R1 = R2 = Ph) itself. I (R = H, R1 = R2 = 2-pyridyl) had slightly better activity than DPTH in lowering liver cholesterol values.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:34167 CAPLUS

DOCUMENT NUMBER: 76:34167

ORIGINAL REFERENCE NO.: 76:5535a,5538a
TITLE: Hydantoins, thiohydantoins, glycocyamidines. XXXIII. Reductive uncoupling rearrangements of the retrobenzilic acid type using Lewis acids. VIII. Reactions of 5,5-diarylthiohydantoins with boron trifluoride etherates, boron trifluoride etherate/boron trifluoride mixtures, and gallium bromide
AUTHOR(S): Fetter, J.; Nyitrai, J.; Lempert, K.
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ., Budapest, Hung.
SOURCE: Tetrahedron (1971), 27(23), 5933-41
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: German
AB 5,5-Diaryldithiohydantoins refluxed with BF3-Me2O are selectively methylated at the S-2 atom, and (or) suffer rearrangements of the retrobenzilic acid type under simultaneous extrusion of the thioxo S atom from position 4 to yield imidazole derivs. The latter type of reaction was previously effected by AlCl3. Derivs. already methylated at the S-2 atom are only rearranged, as are also the derivs. of 5,5-diphenyl-4-thiohydantoin if a reaction with the latter occurs at all. Derivs. of 5,5-diphenyl-2-thiohydantoin, on the other hand, are only S-methylated by BF3-Me2O without being rearranged. The selective methylating properties of the BF3-Me2O reagent may be applied for the smooth preparation of several hitherto difficulty accessible (di)thiohydantoin derivs. GaBr3 is a catalyst comparable with AlCl3 for effecting rearrangements of 5,5-diaryl-4-thio- and -dithiohydantoin derivs., its milder properties being in some cases favorable. In the cases where the migratory aptitudes of Ph and p-chlorophenyl groups could be compared the migratory aptitude of the former was always the greater.

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	45.52	231.62

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.56	-6.56

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 25, 2009 (20090925/UP).